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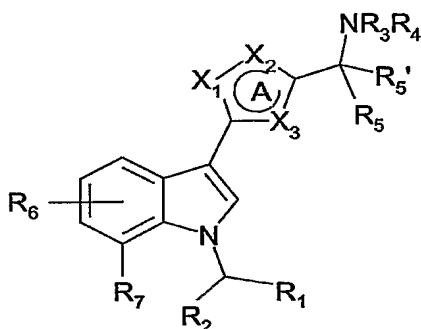
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(54) Title: (INDOL-3-YL)-HETEROCYCLE DERIVATIVES AS AGONISTS OF THE CANNABINOID CB1 RECEPTOR



(I)

(57) Abstract: The invention relates to (indol-3-yl)-heterocycle derivatives having general Formula (I) wherein A represents a 5-membered aromatic heterocyclic ring, wherein X₁, X₂ and X₃ are independently selected from N, O, S and CR; R is H or (C₁₋₄)alkyl; or R, when present in X₂ or X₃, may form together with R₃ a 5-8 membered ring; R₁ is a 5-8 membered saturated carbocyclic ring, optionally containing a heteroatom selected from O and S; R₂ is H, CH₃ or CH₂-CH₃, or R₂ is joined together with R₇ to form a 6-membered ring, optionally containing a heteroatom selected from O and S, and which heteroatom is bonded to the 7-position of the indole ring; R₃ and R₄ are independently H, (C₁₋₆)alkyl or (C₃₋₇)cycloalkyl, the alkyl groups being optionally substituted with OH, (C₁₋₄)alkyloxy, (C₁₋₄)alkylthio, (C₁₋₄)alkyl-sulfonyl, CN or halogen; or R₃ together with R₄ and the N to which they are bonded form a 4-8 membered ring optionally containing a further het-

eroatom selected from O and S, and which is optionally substituted with OH, (C₁₋₄)alkyl, (C₁₋₄)alkyloxy, (C₁₋₄)alkyloxy- (C₁₋₄)alkyl, or halogen; or R₃ together with R₅ forms a 4-8 membered ring optionally containing a further heteroatom selected from O and S, and which is optionally substituted with OH, (C₁₋₄)alkyl, (C₁₋₄)alkyloxy, (C₁₋₄)alkyloxy- (C₁₋₄)alkyl, or halogen; or R₃ together with R, when present in X₂ or X₃, forms a 5-8 membered ring; R₅ is H or (C₁₋₄)alkyl; or R₅ together with R₃ forms a 4-8 membered ring optionally containing a further heteroatom selected from O and S, and which is optionally substituted with OH, (C₁₋₄)alkyl, (C₁₋₄)alkyloxy, (C₁₋₄)alkyloxy- (C₁₋₄)alkyl, or halogen; R₅' is H or (C₁₋₄)alkyl; R₆ represents 1-3 substituents independently selected from H, (C₁₋₄)alkyl, (C₁₋₄)alkyloxy, CN and halogen; R₇ is H, (C₁₋₄)alkyl, (C₁₋₄)alkyloxy, CN or halogen; or R₇ is joined together with R₂ to form a 6-membered ring, optionally containing a further heteroatom selected from O and S, and which heteroatom is bonded to the 7-position of the indole ring; or a pharmaceutically acceptable salt thereof, as agonists of the cannabinoid CB1 receptor, which can be used in the treatment of pain such as for example peri-operative pain, chronic pain, neuropathic pain, cancer pain and pain and spasticity associated with multiple sclerosis.

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